Applicant : Anders Bulsson et al.

Seriol No. : 19/593.540 Piled : Saptember 20, 2006

hige - 2 of 32.

Altermay's Physics No.: 062754532US1 / 10: 414-12 US

Amendments to the Claims:

This listing of claims replaces all prior versions and listings of claims in the application:

Listing of Claims:

(Currently Amended) A compound of formula (I) or a pharmaceutically acceptable sait
or activate thereof

 $\langle 0 \rangle$

wherein

R¹ and R² independently represent H or C1 to 6 alkyl, said alkyl being optionally further substituted by an aryl ring or an aromatic heterocyclic ring containing 1 to 3 heterostoms independently selected from O. S and N; said aromatic ring being optionally further substituted by halogen, CF₂, C1 to 4 alkyl or C1 to 4 alkoxy;

=> fil cap FILE 'CAPLUS' ENTERED AT 11:05:54 ON 12 JAN 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS) Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 12 Jan 2009 VOL 150 ISS 3 FILE LAST UPDATED: 11 Jan 2009 (20090111/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

STR

=> d que 112 L9

N~G3~G2~1 N 3 G1 7 Ak @15 Ak Cy C @16 17

C---O @19 20

VAR G1=H/15/16 REP G2=(1-3) 18 VAR G3=S/19 NODE ATTRIBUTES: NSPEC IS RC AT 11 AT 18 NSPEC IS RC CONNECT IS E1 RC AT 15 DEFAULT MLEVEL IS ATOM GGCAT IS SAT AT 15 GGCAT IS SAT AT 16 GGCAT IS UNS AT 17

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L11 35 SEA FILE=REGISTRY SSS FUL L9

L12 10 SEA FILE=CAPLUS SPE=ON ABB=ON PLU=ON L11

=> d 112 ibib abs hitstr tot

L12 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:874350 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 147:257652

TITLE: Preparation of piperidine derivatives as tachykinin

receptor antagonists

INVENTOR(S): Shirai, Junya; Yoshikawa, Takeshi; Sugiyama, Hideyuki

PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan

SOURCE: PCT Int. Appl., 133pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.						DATE		-	APPL	ICAT	ION 1	NO.		D.	ATE	
 WO	2007	0890	 31		A1	_	2007	0809	,	 WO 2	007-	 JP52	 160		2	0070	
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,
		KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,
		MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,
		RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW						
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ΒJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG,	BW,	GH,
		GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,
		KG,	KΖ,	MD,	RU,	ΤJ,	$_{ m TM}$										
PRIORIT	Y APP	LN.	INFO	.:						US 2	006-	7638	94P		P 2	0060	201
OTHER SO	OURCE	(S):			MAR:	PAT	147:	2576.	52								

AB Title compds. I [Ar = (un)substituted phenyl; R1 = H, (un)substituted hydrocarbyl, acyl or heterocyclyl; Z = (un)substituted methylene; ring A = (un)substituted piperidine; B = (un)substituted monocyclic aromatic heterocyclyl with provisions that substituents may form a ring], and their pharmaceutically acceptable salts, prodrugs are prepared and disclosed as tachykinin receptor antagonists and useful as an agent for the prophylaxis or treatment of lower urinary tract disease and the like. Thus, e.g., II was prepared by condensation of N-[2-((3R,4S)-4-amino-3-phenylpiperidin-1- yl)-2-oxoethyl]acetamide methanesulfonate (preparation given) with 4-(5-formyl-6-methoxypyridin-3-yl)benzonitrile (preparation given) followed by reduction I have superior antagonistic activity, e.g., II showed IC50 value of 0.015 nM.

IT 945954-65-4P 945954-79-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of piperidine derivs. as tachykinin receptor antagonists)

RN 945954-65-4 CAPLUS

CN 3H-1,2,4-Triazol-3-one, 5-[2-[(3R,4S)-4-[[[2-cyclopropyl-4-methoxy-6-(1-methylethoxy)-5-pyrimidinyl]methyl]amino]-3-phenyl-1-piperidinyl]-2-oxoethyl]-1,2-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

RN 945954-79-0 CAPLUS

CN Benzonitrile, 4-[5-[[(3R,4S)-1-[2-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)acetyl]-3-phenyl-4-piperidinyl]amino]methyl]-6-methoxy-3-pyridinyl](CA INDEX NAME)

Absolute stereochemistry.

10/593,543 January 12, 2008

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:705062 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 147:118148

TITLE: Piperidine derivatives as tachykinin receptor

> antagonists and their preparation, pharmaceutical compositions and use in the treatment of lower urinary

tract symptoms, gastrointestinal and central nerve

disease

Ikeura, Yoshinori; Shirai, Junya; Yoshikawa, Takeshi; INVENTOR(S):

Sakauchi, Nobuki

PATENT ASSIGNEE(S): Japan

SOURCE: U.S. Pat. Appl. Publ., 89pp., Cont.-in-part of Appl.

No. PCT/JP2006/315899.

CODEN: USXXCO

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION: _____

PA]	ENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
	2007				A1		2007				007-				_	0070	
WO	2007 W:				A1			0208							_	0060	
	VV .					•		AZ, DK,				•	•		•		•
		GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,
		KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,
		MW,	MX,	MZ,	NA,	NG,	ΝI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,	RU,
		SC,	SD,	SE,	SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,
		US,	UZ,	VC,	VN,	ZA,	ZM,	ZW									
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KΖ,	MD,	RU,	ТJ,	TM										
RITY	APP	LN.	INFO	.:							005-				A 2		

PRIO

WO 2006-JP315899 A2 20060804

OTHER SOURCE(S): MARPAT 147:118148

GΙ

$$\underset{Me}{\overset{\circ}{\bigvee}}_{H} \underset{Ph}{\overset{MeO}{\bigvee}}_{Ph}$$

AΒ The invention relates to a compound represented by formula I or a salt thereof. Compds. of formula I wherein Ar is (un)substituted Ph; R1 is H, (un)substituted hydrocarbon, acyl and (un)substituted heterocyclic group; R2 is H, (un) substituted C1-6 alkyl and (un) substituted C3-6 cycloalkyl; Z is (un) substituted methylene; ring A is a (un) substituted piperidine ring; ring B and ring C are (un)substituted benzene; R2 optionally form a ring together with the adjacent substituent on the ring B; and their salts thereof, are claimed. The compound of the invention has a superior tachykinin receptor antagonistic action, particularly a substance P receptor antagonistic action, and is useful as a pharmaceutical agent, for example, tachykinin receptor antagonist, an agent for the prophylaxis or treatment of lower urinary tract symptoms, gastrointestinal diseases or central nerve diseases. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their tachykinin receptor antagonistic activity. From the assay, it was determined that compound II exhibited an IC50 value of 0.019 nM.

IT 923280-44-8P 923280-84-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of piperidine derivs. as tachykinin receptor antagonists and their use in the treatment of lower urinary tract symptoms, gastrointestinal and central nerve disease)

RN 923280-44-8 CAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 3'-[[(3R,4S)-1-[2-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)acetyl]-3-phenyl-4-piperidinyl]amino]methyl]-4'-methoxy-(CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} \text{MeO} \\ \text{H} \\ \text{N} \\ \text{Ph} \end{array}$$

RN 923280-84-6 CAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 3'-[[[(3R,4S)-1-[[1-[2-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)acetyl]-4-piperidinyl]carbonyl]-3-phenyl-4-piperidinyl]amino]methyl]-4'-methoxy-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

L12 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:485967 CAPLUS Full-text

DOCUMENT NUMBER: 146:482087

TITLE: Preparation of heterocyclic amide compounds as matrix

metalloproteinase inhibitors

INVENTOR(S): Nara, Hiroshi; Kaieda, Akira; Sato, Kenjiro; Terauchi,

Jun

PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan

SOURCE: PCT Int. Appl., 330pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION 1	. OV		D	ATE	
WO	2007	0498:	20		A1	_	2007	0503	,	WO 2	006-	 JP32:	 2043		2	0061	027
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,
		KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,
		MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,
		RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW						
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	ΙT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG,	BW,	GH,
		GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KΖ,	MD,	RU,	ΤJ,	$_{ m TM}$										
AU	2006	3069	91		A1		•				91		2	0061	027		
CA	2627	497			A1		2007	0503	1	CA 2	006-	2627	497		2	0061	027
EP	1953	148			A1		2008	0806		EP 2	006-	8229	61		2	0061	027

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS

MX 200805416 20080512 MX 2008-5416 20080425 Α KR 2008066061 20080715 KR 2008-712886 20080528 Α PRIORITY APPLN. INFO.: JP 2005-315267 20051028 Α WO 2006-JP22043 W 20061027 WO 2006-JP322043 W 20061027

OTHER SOURCE(S): MARPAT 146:482087

GΙ

$$A = Y$$

$$A =$$

AB The title compds. I [A = zinc-bonding group; X = CZ, N; Z = H, halo; Y = (un)substituted spacer having 2 to 10 atoms; ring B = Q1, etc.; R1 - R4 = H, halo, cyano, etc.; excluding 6 specific compds.] are prepared Thus, 4-oxo-N-[3-([2-((1H-1,2,4-triazol-3-ylthio)ethyl]oxy)phenyl)methyl]-3,4-dihydroquinazoline-2-carboxamide was prepared in several steps starting from 3-hydroxybenzonitrile and 1-bromo-2-chloroethane. In an in vitro assay, compds. of this invention at 1 μ M gave 81% to 100% inhibition of matrix metalloproteinase 13. Formulations are given.

IT 935759-87-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic amide compds. as matrix metalloproteinase inhibitors)

RN 935759-87-8 CAPLUS

CN 2-Quinazolinecarboxamide, N-[[3-[4-[2-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-y1)acety1]-1-piperazinyl]phenyl]methyl]-3,4-dihydro-4-oxo- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:150254 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 146:206214

TITLE: Preparation of biphenylmethylaminopiperidines as

tachykinin receptor antagonists.

INVENTOR(S): Ikeura, Yoshinori; Shirai, Junya; Yoshikawa, Takeshi;

Sakauchi, Nobuki

PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan

SOURCE: PCT Int. Appl., 174pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

GΙ

P.A.	ATENT	NO.			KIN	D	DATE		-	APPL	ICAT	ION :	NO.		D	ATE	
WC	2007	 0155	88		A1	_	2007	0208	,	WO 2	006-	 JP31	 5899		2	0060	804
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,
		KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,
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		SC,	SD,	SE,	SG,	SK,	SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,
		US,	UZ,	VC,	VN,	ZA,	ZM,	ZW									
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
		IS,	ΙT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,
		GM,	KE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	AZ,	BY,
		KG,	KΖ,	MD,	RU,	ТJ,	TM										
EF	1910	292			A1		2008	0416		EP 2	006-	7826	85		2	0060	804
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	ΙT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR	
US	2007	0149	570		A1		2007	0628		US 2	007-	7013	80		2	0070	202
PRIORIT	Y APP	LN.	INFO	.:					1	JP 2	005-	2271	83	Ž	A 2	0050	804
									•	WO 2	006-	JP31	5899	Ţ	W 2	0060	804
OTHER S	OURCE	(S):			MAR:	PAT	146:	2062	14								

$$\underset{\mathbb{R}^{1}\mathbb{N}}{\underbrace{\prod_{\mathbb{R}^{20}}}}\underset{\mathbb{A}r}{\underbrace{\prod_{\mathbb{R}^{20}}}}$$

AB Title compds. [I; Ar = (substituted) Ph; R1 = H, (substituted) hydrocarbyl, acyl, heterocyclyl; R2 = H, (substituted) alkyl, cycloalkyl; Z = (alkyl-substituted) methylene; all rings may be further substituted; with 2 specifically excluded compds.], were prepared Thus, N-[2-[(3R,4S)-4-[[(4'-ethynyl-4-methoxybiphenyl-3-yl)methyl]amino]-3- phenylpiperidin-1-yl]-2-oxoethyl]acetamide (general preparation given) showed radioligand receptor binding inhibitory activity in IM-9 human lymphoblast cells with IC50 = 0.015 nM.

IT 923280-44-8P 923280-84-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of biphenylmethylaminopiperidines as tachykinin receptor antagonists)

RN 923280-44-8 CAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 3'-[[(3R,4S)-1-[2-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)acetyl]-3-phenyl-4-piperidinyl]amino]methyl]-4'-methoxy-(CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} \text{MeO} \\ \text{H} \\ \text{N} \\ \text{Ph} \end{array}$$

RN 923280-84-6 CAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 3'-[[[(3R,4S)-1-[[1-[2-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)acetyl]-4-piperidinyl]carbonyl]-3-phenyl-4-piperidinyl]amino]methyl]-4'-methoxy-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

HC1

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2006:1155411 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 145:471540

TITLE: Preparation of piperidine derivatives as tachykinin

receptor antagonists

INVENTOR(S): Nagaoka, Naomi; Marunaka, Shigeyuki; Fukuta, Makoto

PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan

SOURCE: PCT Int. Appl., 323pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	FENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
WO	2006	 1152	 85		A1	_	 2006	1102	,	WO 2	006-	 JP30	 8919		2	0060	421
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚM,	KN,	KP,	KR,
		KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MΖ,	NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
		VN,	YU,	ZA,	ZM,	ZW											
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,
		GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KΖ,	MD,	RU,	ΤJ,	TM										
RIT	Y APP	LN.	INFO	.:					1	JP 2	005-	1243.	35	i	A 2	0050	421
R SO	OURCE	(S):			MAR:	PAT	145:	4715	40								

PRIOR

OTHER SOURCE(S):

The title compds. (no biol. data) are prepared This document discloses a AΒ pharmaceutical composition comprising N-(2-[(3R,4S)-4-((2-methoxy-5-[5-kg))])(trifluoromethyl)-1H-tetrazol-1-yl]benzyl)amino)-3-phenylpiperidin-1-yl]-2oxoethyl)acetamide (I), a salt or a prodrug thereof, a sugar and a hydrophilic water-insol. substance. Thus, N-(2-[(3R,4S)-4-((2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1- yl]benzyl)amino)-3-phenylpiperidin-1-yl]-2oxoethyl)acetamide was prepared in 3 steps from (3R,4S)-4-amino-3phenylpiperidine-1-carboxylic acid tert-Bu ester and 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1- yl]benzaldehyde. Formulations containing I are given. Tablets containing I showed high elution stability. 632352-46-6P ΤТ

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidine derivs. as tachykinin receptor antagonists) 632352-46-6 CAPLUS

3H-1,2,4-Triazol-3-one,1,2-dihydro-5-[2-[(3R,4S)-4-[[[2-methoxy-5-[5-1]])]]CN (trifluoromethyl)-1H-tetrazol-1-yl]phenyl]methyl]amino]-3-phenyl-1piperidinyl]-2-oxoethyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN

36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2006:272922 CAPLUS Full-text DOCUMENT NUMBER: 144:331270

TITLE: Preparation of piperidine derivatives as tachykinin

receptor antagonists

INVENTOR(S): Ikeura, Yoshinori; Hashimoto, Tadatoshi; Nishida,

Haruyuki; Shirai, Junya; Sakauchi, Nobuki

PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan

SOURCE: PCT Int. Appl., 222 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P.	ATENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
W(2006	 0309	 75		A1	_	2006	0323		 WO 2	 005-	 JP17	 538		2	0050	916
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KP,	KR,	KΖ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,
		NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,
		SK,	SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,
		YU,	ZA,	ZM,	ZW												
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	ΙT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	${ m ML}$,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,
		GM,	KΕ,	LS,	MW,	MZ ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	KΖ,	MD,	RU,	ΤJ,	TM										
EF	1790	636			A1		2007	0530		EP 2	005-	7858	70		2	0050	916
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR	
US	2006	0142	337		A1		2006	0629		US 2	006-	3580	70		2	0060	222
PRIORI	TY APP	LN.	INFO	.:						JP 2	004-	2726	39		A 2	0040	917
										WO 2	005-	JP17	538		W 2	0050	916
OTHER S	SOURCE	(S):			MAR	PAT	144:	3312	70								

GI

- AB Title compds. I [Ar = (un)substituted aryl; R = alkyl; R1 = H, (un)substituted hydrocarbon, acyl, etc.; X = O, (un)substituted imino; ring A = piperidine ring which may have an addnl. substituent; ring B = substituted benzene] were prepared For example, compound II [Y = H] HCl was prepared from (3R, 4S)-4-hydroxy-3-phenylpiperidine-1- carboxylic acid tert-Bu ester in a multistep process. In radioligand receptor binding inhibition assays, compound II [Y = (1-acetylpiperidin-4-yl)carbonyl] exhibited the IC50 value of 0.026 nM. Compds. I are claimed useful for the treatment of irritable bowel disease, depression, etc.
- IT 880092-22-8P 880092-48-8P 880092-89-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidine derivs. as tachykinin receptor antagonists for treatment of irritable bowel disease, depression, etc.)

- RN 880092-22-8 CAPLUS
- CN 1H-1,2,4-Triazole-3-acetamide, N-[trans-4-[[(3R,4S)-4-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethoxy]-3-phenyl-1-piperidinyl]carbonyl]cyclohexyl]-2,5-dihydro-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.

- RN 880092-48-8 CAPLUS
- CN 3H-1,2,4-Triazol-3-one, 5-[2-[(3R,4S)-4-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethoxy]-3-phenyl-1-piperidinyl]-2-oxoethyl]-1,2-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

- RN 880092-89-7 CAPLUS
- CN 3H-1,2,4-Triazol-3-one, 5-[2-[4-[[(3R,4S)-4-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethoxy]-3-phenyl-1-piperidinyl]carbonyl]-1-

January 12, 2008

piperidinyl]-2-oxoethyl]-1,2-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2005:1106854 CAPLUS Full-text

DOCUMENT NUMBER: 143:387043

TITLE: Preparation of triazolone derivatives as MMP

inhibitors for the treatment of asthma

INVENTOR(S): Eriksson, Anders; Lepistoe, Matti

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	TENT	NO.			KIN		DATE			APPL	ICAT	ION I	NO.		D.	ATE		
WO	2005	0953	62				 2005	1013		 WO 2	2005-	SE44	 8		2	0050	329	
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
		SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	
		MR,	NE,	SN,	TD,	ΤG												
EP	1732	903			A1		2006	1220		EP 2	005-	7222	75		2	0050	329	
	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	
		IS,	ΙΤ,	LI,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR			
CN	1960	979			Α		2007	0509		CN 2	005-	8001	7672		2	0050	329	
JP	2007	5306	72		Τ		2007	1101		JP 2	2007-	5061	8 0		2	0050	329	
US	2007	0219	217		A1		2007	0920		US 2	006-	5935	43		2	0060	920	
IN	2006	DN05	541		Α		2007	0803		IN 2	006-	DN55	41		2	0060	922	
IORIT	Y APP	LN.	INFO	.:						SE 2	004-	850			A 2	0040	330	
										WO 2	2005-	SE44	8	1	W 2	0050	329	
HER S	OURCE	(S):			CASI	REAC	T 14	3:38	7043	; MA	RPAT	143	:387	043				

14

AB Title compds. represented by the formula I [wherein R1, R2 = independently H, C1 or (un)substituted alkyl; R3, R4 = independently H, C1, (un)substituted alkyl or R3R4 = (hetero)cyclyl; m = 1-3; X = S0, S02 or C0; R5 = H, C1 or (un)substituted alkyl; Y = a direct bond or NR5Y = azacyclic ring; L = a direct bond, O, amino, etc.; G1 = (un)substituted cyclic ring; and pharmaceutically acceptable salts or solvates thereof] were prepared as metalloproteinase (MMP) inhibitors. For example, II was provided in a multistep synthesis starting from the reaction of 5-(chloromethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one with benzyl mercaptan. I were tested for inhibition of human MMP12, MMP9, MMP2, MMP19, MMP14 and MMP8. I and their pharmaceutical compns. are useful as MMP inhibitors for the treatment of asthma or other MMP-12 and/or MMP-9 mediated diseases (no data).

IT 866602-62-2P, N,N-Diethyl-1-(5-oxo-4,5-dihydro-1H-1,2,4-triazol-3-yl)methanesulfonamide

RL: BYP (Byproduct); PREP (Preparation)

(preparation of triazolone derivs. as MMP inhibitors for treatment of asthma)

RN 866602-62-2 CAPLUS

CN 1H-1,2,4-Triazole-3-methanesulfonamide, N,N-diethyl-2,5-dihydro-5-oxo-(CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

IT 866602-59-7P, 5-[[[4-[(5-Chloropyridin-2-yl)oxy]piperidin-1yl]sulfonyl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one
866602-63-3P, 5-[2-[[4-[(5-Chloropyridin-2-yl)oxy]piperidin-1yl]sulfonyl]ethyl]-2,4-dihydro-3H-1,2,4-triazol-3-one 866602-67-7P
, 5-[3-[[4-[(5-Chloropyridin-2-yl)oxy]piperidin-1-yl]sulfonyl]propyl]-2,4dihydro-3H-1,2,4-triazol-3-one 866602-71-3P,
5-[[[4-(4-Chlorophenyl)piperazin-1-yl]sulfonyl]methyl]-2,4-dihydro-3H1,2,4-triazol-3-one 866602-72-4P,
5-[[[4-[(2-Methoxypyrimidin-5-yl)ethynyl]-3,6-dihydropyridin-1(2H)yl]sulfonyl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one
866602-73-5P, 5-[[[4-[[2-(Trifluoromethyl)pyrimidin-5-yl]ethynyl]3,6-dihydropyridin-1(2H)-yl]sulfonyl]methyl]-2,4-dihydro-3H-1,2,4-triazol3-one 866602-74-6P, 5-[[[4-[(2-Cyclopropylpyrimidin-5yl)ethynyl]-3,6-dihydropyridin-1(2H)-yl]sulfonyl]methyl]-2,4-dihydro-3H-

1,2,4-triazol-3-one 866602-75-7P,

5-[[[4-(4-Chlorophenyl)piperidin-1-yl]sulfonyl]methyl]-2,4-dihydro-3H-1,2,4-triazol-3-one 866602-76-8P,

N-Benzyl-1-(5-oxo-4,5-dihydro-1H-1,2,4-triazol-3-yl)methanesulfonamide 866602-77-9P, 1-(5-0xo-4,5-dihydro-1H-1,2,4-triazol-3-yl)-N-(2-phenylethyl)methanesulfonamide 866602-78-0P,

5-[2-[4-(4-Chlorophenyl)piperidin-1-yl]sulfonyl]ethyl]-2,4-dihydro-3H-1,2,4-triazol-3-one 866602-79-1P,

5-[2-[[4-(4-Chlorophenyl)piperazin-1-yl]sulfonyl]ethyl]-2,4-dihydro-3H-1,2,4-triazol-3-one 866602-80-4P,

5-[3-[[4-(4-Chlorophenyl)piperidin-1-yl]sulfonyl]propyl]-2,4-dihydro-3H-1,2,4-triazol-3-one 866602-81-5P,

5-[3-[[4-(4-Chlorophenyl)piperazin-1-yl]sulfonyl]propyl]-2,4-dihydro-3H-1,2,4-triazol-3-one

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of triazolone derivs. as MMP inhibitors for treatment of asthma)

RN 866602-59-7 CAPLUS

CN 3H-1,2,4-Triazol-3-one, 5-[[[4-[(5-chloro-2-pyridinyl)oxy]-1-piperidinyl]sulfonyl]methyl]-1,2-dihydro- (CA INDEX NAME)

RN 866602-63-3 CAPLUS

CN 3H-1,2,4-Triazol-3-one, 5-[2-[[4-[(5-chloro-2-pyridinyl)oxy]-1-piperidinyl]sulfonyl]ethyl]-1,2-dihydro- (CA INDEX NAME)

$$HN \longrightarrow CH_2 - CH_2 - \bigcup_{H} N \longrightarrow CH_2 - \bigcup_{H} N \longrightarrow CH_2 - CH_2 - \bigcup_{H} N$$

RN 866602-67-7 CAPLUS

CN 3H-1,2,4-Triazol-3-one, 5-[3-[[4-[(5-chloro-2-pyridinyl)oxy]-1-piperidinyl]sulfonyl]propyl]-1,2-dihydro- (CA INDEX NAME)

RN 866602-71-3 CAPLUS

CN 3H-1,2,4-Triazol-3-one, 5-[[[4-(4-chlorophenyl)-1-piperazinyl]sulfonyl]methyl]-1,2-dihydro- (CA INDEX NAME)

RN 866602-72-4 CAPLUS

CN 3H-1,2,4-Triazol-3-one, 5-[[[3,6-dihydro-4-[2-(2-methoxy-5-pyrimidinyl)ethynyl]-1(2H)-pyridinyl]sulfonyl]methyl]-1,2-dihydro- (CA INDEX NAME)

RN 866602-73-5 CAPLUS

CN 3H-1,2,4-Triazol-3-one, 5-[[[3,6-dihydro-4-[2-[2-(trifluoromethyl)-5-pyrimidinyl]ethynyl]-1(2H)-pyridinyl]sulfonyl]methyl]-1,2-dihydro- (CA INDEX NAME)

RN 866602-74-6 CAPLUS

CN 3H-1,2,4-Triazol-3-one, 5-[[[4-[2-(2-cyclopropyl-5-pyrimidinyl)ethynyl]-3,6-dihydro-1(2H)-pyridinyl]sulfonyl]methyl]-1,2-dihydro- (CA INDEX NAME)

$$HN \longrightarrow CH_2 \longrightarrow CH$$

RN 866602-75-7 CAPLUS

CN 3H-1,2,4-Triazol-3-one, 5-[[[4-(4-chlorophenyl)-1-piperidinyl]sulfonyl]methyl]-1,2-dihydro- (CA INDEX NAME)

RN 866602-76-8 CAPLUS

CN 1H-1,2,4-Triazole-3-methanesulfonamide, 2,5-dihydro-5-oxo-N-(phenylmethyl)- (CA INDEX NAME)

$$0 \longrightarrow \mathbb{N} \longrightarrow \mathbb{C} \mathbb{H}_2 - \mathbb{N} \longrightarrow \mathbb{C} \mathbb{H}_2 - \mathbb{P} \mathbb{h}$$

RN 866602-77-9 CAPLUS

CN 1H-1,2,4-Triazole-3-methanesulfonamide, 2,5-dihydro-5-oxo-N-(2-phenylethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} O & & O \\ & & & \\ & &$$

RN 866602-78-0 CAPLUS

CN 3H-1,2,4-Triazol-3-one, 5-[2-[[4-(4-chlorophenyl)-1-piperidinyl]sulfonyl]ethyl]-1,2-dihydro- (CA INDEX NAME)

RN 866602-79-1 CAPLUS

CN 3H-1,2,4-Triazol-3-one, 5-[2-[[4-(4-chlorophenyl)-1-piperazinyl]sulfonyl]ethyl]-1,2-dihydro- (CA INDEX NAME)

$$\begin{array}{c} \text{HN} \\ \text{N} \\ \text{N} \\ \text{N} \end{array} \begin{array}{c} \text{CH}_2 - \text{CH}_2 - \overset{\circ}{\text{N}} \\ \text{CH}_2 - \text{CH}_2 - \overset{\circ}{\text{N}} \end{array}$$

RN 866602-80-4 CAPLUS

CN 3H-1,2,4-Triazol-3-one, 5-[3-[[4-(4-chlorophenyl)-1-piperidinyl]sulfonyl]propyl]-1,2-dihydro- (CA INDEX NAME)

$$H \stackrel{N}{\underset{H}{\bigvee}} (CH_2) \stackrel{\circ}{\underset{3}{\bigvee}} V \stackrel{\circ}{\underset{C1}{\bigvee}} V$$

RN 866602-81-5 CAPLUS

CN 3H-1,2,4-Triazol-3-one, 5-[3-[[4-(4-chlorophenyl)-1-piperazinyl]sulfonyl]propyl]-1,2-dihydro- (CA INDEX NAME)

$$HN \longrightarrow (CH_2)_3 \longrightarrow 0 \longrightarrow N \longrightarrow C$$

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:972057 CAPLUS Full-text

DOCUMENT NUMBER: 140:27765

TITLE: Preparation of piperidine derivatives as tachykinin

receptor antagonists for treatment of frequent

urination and urinary incontinence

INVENTOR(S): Ikeura, Yoshinori; Hashimoto, Tadatoshi; Tarui, Naoki;

Shirai, Junya; Yamashita, Masayuki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 264 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

						_										_		
WO	2003	1019	64		A1		2003	1211		WO	200	3-3	JP67.	54		2	0030	529
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BE	3, E	ЗG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	ΕC), E	ΞE,	ES,	FΙ,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	Ξ, Κ	ζG,	KR,	KΖ,	LC,	LK,	LR,	LS,
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	ΜV	V, M	ΊΧ,	MZ,	NΙ,	NO,	NΖ,	OM,	PH,
		PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SF	۲, ۶	SL,	ΤJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZN	4, Z	ZW						
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ	Z, I	ſΖ,	UG,	ZM,	ZW,	ΑM,	AΖ,	BY,
		KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG	3, C	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FΙ,	FR,	GB,	GR,	HU,	IE,	ΙΤ,	LU,	MC), N	1L,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GÇ), G	∃W,	ML,	MR,	ΝE,	SN,	TD,	TG
CA	2487	688			A1		2003	1211		CA	200)3-2	2487	688		2	0030	529
AU	2003	2419	03		A1		2003	1219		AU	200)3-2	2419	03		2	0030	529
BR	2003	0114	25		Α		2005	0315		BR	200	3-1	1142	5		2	0030	529
EP	1553																0030	
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	₹, I	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
						•	RO,		•				•	•				
	1671																	
	5373				А												0030	
	2004						2004										0030	
	2004						2005										0041	
	2006						2006										0041	
	2004						2006										0041	
	2004						2006										0041	
	2004				А		2005	0216									0041	
PRIORITY	Y APP	LN.	INFO	.:													0020	
															-		0030	
OMITED 04							1 40	0000		WO	200)3-J	JP67.	54	,	W 2	0030	529

OTHER SOURCE(S): MARPAT 140:27765

AB The title compds. I [wherein Ar = (un)substituted aryl, aralkyl, or heteroaryl; R1 = H, acyl, (un)substituted hydrocarbyl, or heterocyclyl; X = 0 or (un)substituted NH; Z = (un)substituted CH2; ring A = (un)substituted piperidine; ring B = (un)substituted aryl; with exclusions] or prodrugs or salts thereof are prepared I have excellent tachykinin receptor antagonistic activity, and are useful for the treatment of frequent urination and urinary incontinence (no data). For example, the compound II•xHCl was prepared in a multi-step synthesis. II showed antagonistic activity with IC50 of 0.025 nM against human substance P receptor. Formulations containing I as an active ingredient were also described.

IT 632352-46-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of piperidine derivs. as tachykinin receptor

antagonists for treatment of frequent urination and urinary incontinence)

RN 632352-46-6 CAPLUS

CN 3H-1,2,4-Triazol-3-one, 1,2-dihydro-5-[2-[(3R,4S)-4-[[[2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]phenyl]methyl]amino]-3-phenyl-1-piperidinyl]-2-oxoethyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1988:492870 CAPLUS Full-text

DOCUMENT NUMBER: 109:92870

ORIGINAL REFERENCE NO.: 109:15497a,15500a

TITLE: Synthesis of azoles and fused azoles from

 α -arylhydrazononitriles

AUTHOR(S): Ibrahim, Mohamed Kamal Ahmed; El-Moghayar, Mohamed

Riffat Hamza

CORPORATE SOURCE: Fac. Sci., Cairo Univ., Giza, Egypt

SOURCE: Indian Journal of Chemistry, Section B: Organic

Chemistry Including Medicinal Chemistry (1987),

26B(9), 832-5

CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal LANGUAGE: English

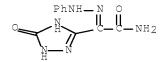
OTHER SOURCE(S): CASREACT 109:92870

GI

- AB Cyanoacetamides R1C6H4NHN:C(CONH2)CN (R1 = H, Me, C1) were heated with N2H4 to give pyrazoles I. Also prepared, from cyanoacetamides and HSCH2CO2H, were thiazolinones II (R2 = C1, CO2H).
- IT 115998-45-3P

RN 115998-45-3 CAPLUS

CN 1H-1,2,4-Triazole-3-acetamide, 2,5-dihydro-5-oxo- α -(2-phenylhydrazinylidene)- (CA INDEX NAME)



L12 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1977:468245 CAPLUS Full-text

DOCUMENT NUMBER: 87:68245

ORIGINAL REFERENCE NO.: 87:10865a,10868a

TITLE: Structural elucidation of the reaction products from

benzonitrile oxide and 1,4-disubstituted urazoles

AUTHOR(S): Hoyer, Georg A.; Boroschewski, Gerhard

CORPORATE SOURCE: Forschungslab., Schering A.-G., Berlin, Fed. Rep. Ger.

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1977),

310(3), 255-9

CODEN: ARPMAS; ISSN: 0365-6233

DOCUMENT TYPE: Journal LANGUAGE: German

GΙ

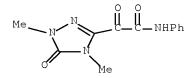
AB The reaction of benzonitrile oxide with urazoles (I; R = R1 = Me; R = Ph, R1 = Me; R = Me, R1 = Ph; R = R1 = Ph) does not yield the corresponding 1,4-disubstituted 3-(phenylcarbamoyloxy)- $\Delta 2$ -1,2,4-triazolin-5-ones as previously reported (Sunderdiek, R. et al, 1974), but leads to oxadiazolinones (II; R, R1 as above).

IT 63425-53-6

RL: RCT (Reactant); RACT (Reactant or reagent) (oxadiazolinones vs., as reaction products of benzonitrile oxide and urazoles)

RN 63425-53-6 CAPLUS

CN 1H-1,2,4-Triazole-3-acetamide, 4,5-dihydro-1,4-dimethyl- α ,5-dioxo-N-phenyl- (CA INDEX NAME)



=> fil cap dissabs confsci wpix

FILE 'CAPLUS' ENTERED AT 11:06:19 ON 12 JAN 2009

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE 'DISSABS' ENTERED AT 11:06:19 ON 12 JAN 2009

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FILE 'CONFSCI' ENTERED AT 11:06:19 ON 12 JAN 2009

COPYRIGHT (C) 2009 Cambridge Scientific Abstracts (CSA)

FILE 'WPIX' ENTERED AT 11:06:19 ON 12 JAN 2009

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=> d que 123

L19 496 SEA ERIKSSON A/AU OR ERIKSSON ANDER?/AU

L20 38 SEA LEPISTO M/AU OR LEPISTO M ?/AU OR LEPISTO MATT?/AU

L21 613 SEA L19 OR ERIKSSON A ?/AU

L22 643 SEA (L20 OR L21)

L23 7 SEA L22 AND TRIAZOL?

=> dup rem 123

PROCESSING COMPLETED FOR L23

L24 5 DUP REM L23 (2 DUPLICATES REMOVED)

ANSWERS '1-4' FROM FILE CAPLUS

ANSWER '5' FROM FILE WPIX

=> d 124 ibib abs tot

L24 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2005:1106854 CAPLUS Full-text

DOCUMENT NUMBER: 143:387043

TITLE: Preparation of triazolone derivatives as MMP

inhibitors for the treatment of asthma

INVENTOR(S): Eriksson, Anders; Lepistoe, Matti

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
WO 20050953	62	A1	20051013	WO 2005-SE448	20050329
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            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
             SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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     EP 1732903
                                20061220
                                          EP 2005-722275
                                                                  20050329
                         Α1
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
     CN 1960979
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     JP 2007530672
                         Τ
                                20071101
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                                                                  20050329
     US 20070219217
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                                           US 2006-593543
                                                                  20060920
     IN 2006DN05541
                               20070803
                                           IN 2006-DN5541
                                                                  20060922
                         Α
PRIORITY APPLN. INFO.:
                                           SE 2004-850
                                                               A 20040330
                                           WO 2005-SE448
                                                               W 20050329
OTHER SOURCE(S):
                       CASREACT 143:387043; MARPAT 143:387043
GΙ
```

Title compds. represented by the formula I [wherein R1, R2 = independently H, C1 or (un)substituted alkyl; R3, R4 = independently H, C1, (un)substituted alkyl or R3R4 = (hetero)cyclyl; m = 1-3; X = S0, S02 or C0; R5 = H, C1 or (un)substituted alkyl; Y = a direct bond or NR5Y = azacyclic ring; L = a direct bond, O, amino, etc.; G1 = (un)substituted cyclic ring; and pharmaceutically acceptable salts or solvates thereof] were prepared as metalloproteinase (MMP) inhibitors. For example, II was provided in a multistep synthesis starting from the reaction of 5-(chloromethyl)-2,4-dihydro-3H-1,2,4-triazol-3-one with benzyl mercaptan. I were tested for inhibition of human MMP12, MMP9, MMP2, MMP19, MMP14 and MMP8. I and their pharmaceutical compns. are useful as MMP inhibitors for the treatment of asthma or other MMP-12 and/or MMP-9 mediated diseases (no data).

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2000:842129 CAPLUS Full-text

DOCUMENT NUMBER: 134:29418

TITLE: Preparation of New triazoles as pharmaceutically active compounds activity as kinase inhibitors

INVENTOR(S): Karabelas, Kostas; Lepisto, Matti; Sjo, Peter

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.

SOURCE: PCT Int. Appl., 127 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

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		ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KF	٦,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,
		LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ	Ζ, :	NO,	NZ,	PL,	PT,	RO,	RU,	SD,
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										WO	20	00-	SE10	09		W 2	0000	519
							404	00 44	_									

OTHER SOURCE(S): MARPAT 134:29418

GI

AB Title compds. [I; wherein one of Ar and Ar is optionally substitute d bicyclic heteroaryl or optionally substituted tricyclic heteroaryl and the other is optionally substituted heteroaryl or optionally substituted aryl; X is O or S; and R is H, OH, NH or C alkyl (itself optionally substituted by amino or hydroxy)], stereoisomers, salts, and solvates which are protein kinase C inhibitors are prepared and pharmaceutical compns. comprising them are useful to include prophylactic, diagnostic and therapeutic regimens carried out in vivo or ex vivo on humans or other mammals. Thus, the title compound II was prepared

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:771134 CAPLUS Full-text

DOCUMENT NUMBER: 149:104697

TITLE: Indazolyl ester and amide derivatives for the

treatment of glucocorticoid receptor mediated

disorders and their preparation

INVENTOR(S): Berger, Markus; Dahmen, Jan; Eriksson, Anders;

Gabos, Balint; Hansson, Thomas; Hemmerling, Martin; Henriksson, Krister; Ivanova, Svetlana; Lepistoe, Matti; McKerrecher, Darren; Munck Af Rosenschoeld, Magnus; Nilsson, Stinabritt; Rehwinkel, Hartmut;

Taflin, Camilla

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Bayer Schering Pharma

Aktiengesellschaft

SOURCE: PCT Int. Appl., 310pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008076048	A1	20080626	WO 2007-SE1136	20071220
W: AE. AG. AL.	AM. AT	. AU. AZ. BA	. BB. BG. BH. BR. BW.	BY, BZ, CA,

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             KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
             MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
             PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
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             IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
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             GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM
     US 20080214641
                                20080904
                                            US 2007-5066
                          Α1
                                                                    20071220
PRIORITY APPLN. INFO.:
                                            US 2006-871184P
                                                                Ρ
                                                                   20061221
                                            US 2007-941745P
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                                                                   20070604
                                            US 2007-978526P
                                                                Р
                                                                   20071009
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OTHER SOURCE(S): MARPAT 149:104697

GΙ

The present invention relates to indazolyl ester or amide derivs. of formula AΒ I, to pharmaceutical compns. comprising such derivs., to processes for preparing such novel derivs. and to the use of such derivs. as medicaments. Compds. of formula I wherein A is C1-6 (hydroxy)alkyl, C1-6 cyanoalkyl, CN, C1-6 nitroalkyl, NO2, C1-6 alkoxy, etc.; Rx is H; RxA taken together to form azacyclic ring; R1 and R1a is H, C1-4 (hydroxy)alkyl, C1-4 alkyl-O-C1-4 alkyl, C1-4 alkyl-S-C1-4 alkyl, C1-4 haloalkyl; R1R1a taken together to form oxo; R2 is H and C1-4 alkyl; R3 is (un)substituted C5-10 aryl(oxy), (un)substituted C5-10 aryl-C1-4 alkyl, (un)substituted C5-10 aryloxy-C1-4 alkyl and (un) substituted C5-10 heteroaryl; R4 is H, OH, halo, and C1-4 (halo) alkyl; W is H, (un) substituted Ph, (un) substituted C1-4 alkyl, (un) substituted C3-7 cycloalkyl, (un) substituted thienyl, (un) substituted isoxazolyl, (un) substituted pyrazolyl, (un) substituted pyridinyl, (un) substituted pyridazinyl, and (un)substituted pyrimidinyl; X is CH2, O, S, SO, SO2, NH and N-C1-4 alkyl; Y is H, halo, C1-4 (halo)alkyl, C1-4 alkoxy, C1-4 thioalkyl, etc.; Z is O and S; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their GR inhibitory

activity. From the assay, it was determined that compound II exhibited IC50 value of 2.3 nM.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2006:1148723 CAPLUS Full-text

DOCUMENT NUMBER: 147:477019

TITLE: Multi-functionalized platinum(II) acetylides for

optical power limiting

AUTHOR(S): Westlund, Robert; Malmstroem, Eva; Hoffmann, Markus;

Vestberg, Robert; Hawker, Craig; Glimsdal, Eirik; Lindgren, Mikael; Norman, Patrick; Eriksson, Anders;

Lopes, Cesar

CORPORATE SOURCE: KTH Fibre and Polymer Technology, Royal Institute of

Technology, Stockholm, SE-100 44, Swed.

SOURCE: Proceedings of SPIE-The International Society for

Optical Engineering (2006), 6401(Optical Materials in Defence Systems Technology III), 64010H/1-64010H/8

CODEN: PSISDG; ISSN: 0277-786X

PUBLISHER: SPIE-The International Society for Optical Engineering

DOCUMENT TYPE: Journal LANGUAGE: English

Preliminary results on the optical power limiting properties of Pt(II) AΒ acetylides containing triazole units are presented. The triazole units give a pos. contribution to the limiting abilities of the Pt(II) acetylide and this modified chromophore could have potential use in sensor protection devices. The versatile building block 2,2-bis(methylol)propionic acid (bis-MPA) can be used advantageously to functionalize nonlinear optical (NLO) Pt(II) acetylides. The bis-MPA units can be used to prepare dendritic substituents offering site isolation to the chromophore leading to improved clamping. The bis-MPA functionalization also improves the solubility of the Pt(II) acetylides in many organic solvents. The preparation of solid-state optical power limiters, where the NLO chromophore is inserted in an optically transparent matrix, is addressed. Again, the bis-MPA unit can be employed to increase the number of accessible end-groups to which matrix-compatible species can be attached. The hydroxy-functional Pt(II) acetylides can be modified to fit almost any matrix, organic or inorg. Finally, depending on functionalization, it is possible to prepare doped glasses where the chromophore is either embedded in the matrix, or covalently bonded to the matrix.

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 5 OF 5 WPIX COPYRIGHT 2009 THOMSON REUTERS on STN

ACCESSION NUMBER: 2003-018783 [01] WPIX

CROSS REFERENCE: 2002-732863; 2002-750527; 2002-750528; 2002-759874;

2002-759875

DOC. NO. CPI: C2003-004563 [01]

TITLE: New compounds useful as metalloproteinase inhibitors for

the treatment of conditions such as asthma

DERWENT CLASS: B03

INVENTOR: LEPISTO M; LEPISTOE M; MUNCH AF ROSENSCHOELD M; MUNCK

AF ROSENSCHOELD M; MUNCK AF ROSENSCHOLD M; MUNCK A R M

PATENT ASSIGNEE: (ASTR-C) ASTRAZENECA AB; (LEPI-I) LEPISTO M; (ROSE-I)

MUNCK AF ROSENSCHOLD M

COUNTRY COUNT: 99

PATENT INFO ABBR.:

PATENT NO	KIND DATE	WEEK LA	PG	MAIN IPC
WO 2002074752	A1 2002092	5 (200301)* EN	110[0]	
NO 2003004027	A 2003110	(200380) NO		
EP 1370538	A1 2003121	7 (200402) EN		
BR 2002008062	A 20040302	(200419) PT		
CZ 2003002498	A3 2004031	7 (200430) CS		
AU 2002237633	A1 20021003	3 (200432) EN		
SK 2003001091	A3 2004050	1 (200433) SK		
US 20040110809	9 A1 2004061	(200438) EN		
JP 2004527512	W 20040909	(200459) JA	188	
HU 2004000328	A2 20040928	3 (200470) HU		
MX 2003008187	A1 20040201	(200473) ES		
ZA 2003006738	A 20050223	3 (200519) EN	117	
NZ 528141	A 2005052	7 (200537) EN		
RU 2293730	C2 2007022	(200752) RU		
AU 2002237633	B2 2007040	(200763) EN		

APPLICATION DETAILS:

PATENT NO KIND	APPLICATION DATE
WO 2002074752 A1	WO 2002-SE479 20020313
MX 2003008187 A1	WO 2002-SE479 20010313
AU 2002237633 A1	AU 2002-237633 20020313
BR 2002008062 A	BR 2002-8062 20020313
EP 1370538 A1	EP 2002-704038 20020313
JP 2004527512 W	JP 2002-573761 20020313
NZ 528141 A	NZ 2002-528141 20020313
NO 2003004027 A	WO 2002-SE479 20020313
EP 1370538 A1	WO 2002-SE479 20020313
BR 2002008062 A	WO 2002-SE479 20020313
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SK 2003001091 A3	WO 2002-SE479 20020313
US 20040110809 A1	WO 2002-SE479 20020313
JP 2004527512 W	WO 2002-SE479 20020313
HU 2004000328 A2	WO 2002-SE479 20020313
NZ 528141 A	WO 2002-SE479 20020313
RU 2293730 C2	WO 2002-SE479 20020313
CZ 2003002498 A3	CZ 2003-2498 20020313
RU 2293730 C2	RU 2003-127736 20020313
SK 2003001091 A3	SK 2003-1091 20020313
ZA 2003006738 A	ZA 2003-6738 20030828
MX 2003008187 A1	MX 2003-8187 20030910
NO 2003004027 A	NO 2003-4027 20030911
HU 2004000328 A2	HU 2004-328 20020313
US 20040110809 A1	US 2004-471499 20040112
AU 2002237633 B2	AU 2002-237633 20020313

FILING DETAILS:

PATENT NO	KIND		PATENT NO
EP 1370538	A1	Based on	WO 2002074752 A
BR 2002008062	A	Based on	WO 2002074752 A
CZ 2003002498	A3	Based on	WO 2002074752 A
AU 2002237633	A1	Based on	WO 2002074752 A
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HU 2004000328	A2	Based on	WO 2002074752 A

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MX 2003008187 A1 Based on WO 2002074752 A
NZ 528141 A Based on WO 2002074752 A
RU 2293730 C2 Based on WO 2002074752 A
AU 2002237633 B2 Based on WO 2002074752 A
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PRIORITY APPLN. INFO: SE 2001-903 20010315

AN 2003-018783 [01] WPIX

CR 2002-732863; 2002-750527; 2002-750528; 2002-759874; 2002-759875

AB WO 2002074752 A1 UPAB: 20060118

NOVELTY - Substituted imidazolidine, oxazolidine or thiazolidine are new.

DETAILED DESCRIPTION - Compounds of formula (I) or their salts and in vivo hydrolysable esters are new.

X = NR1, O or S; Y1 and Y2 = O or S; Z = NR2, O or S; m = 0 or 1;

A = e.g. a direct bond, alkyl or alkenyl;

R1 and R2 = H or (halo)alkyl;

R4 = e.g. H, alkyl;

 ${\rm R5}$ = a bicyclic or tricyclic group comprising 2 or 3 ring structures each of 3 - 7 ring atoms;

R3 and R6 = e.g. H, halo, alkyl, aryl.

Full definitions are given in the Definitions Field (Full Definitions). An INDEPENDENT CLAIM is included for use of a compound of formula (I)

or its in vivo hydrolysable precursor in the preparation of a medicament for the treatment of a disease or condition mediated by at least one metalloproteinase enzyme.

ACTIVITY - Antiasthmatic; Antiallergic; Antiinflammatory; Antirheumatic; Antiarthritic; Osteopathic; Antiarteriosclerotic; Vasotropic; Cytostatic; Cardiant; Gynecological; CNS-Gen.; Nootropic; Neuroprotective.

MECHANISM OF ACTION - Metalloproteinase (MMP) (preferably MMP12, MMP13, MMP9 and/or MMP8) inhibitor; Tumor necrosis factor inhibitor.

Test details are described, but no specific results for specific compounds are given.

USE - Compound (I) is used for the treatment of a disease or condition mediated by a metalloproteinase in a warm blooded animal (claimed), such as asthma, rhinitis, chronic obstructive pulmonary disease (COPD), arthritis (such as rheumatoid arthritis and osteoarthritis), atherosclerosis and restenosis, cancer, invasion and metastasis, diseases involving tissue destruction, loosening of hip joint replacements, periodontal disease, fibrotic disease, infarction and heart disease, liver and renal fibroids, endometriosis, diseases related to the weakening of the extracellular matrix, heart failure, aortic aneurysms, CNS related diseases (such as Alzheimer's disease and multiple sclerosis (MS)) and hematological disorders.

ADVANTAGE - The compounds exhibit improved potency, selectivity and/or pharmacokinetic properties. The compounds show an in vitro IC50 value of (0.1 - 10000, preferably 0.1 - 1000) nM.

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D SCA

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L6
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               5/BI OR 16110-09-1/BI OR 177984-27-9/BI OR 177984-28-0/BI OR
               252742-72-6/BI OR 260441-44-9/BI OR 26905-02-2/BI OR 2899-66-3/
               BI OR 38212-33-8/BI OR 477904-80-6/BI OR 5382-16-1/BI OR
               55444-67-2/BI OR 563-41-7/BI OR 64-04-0/BI OR 73901-41-4/BI OR
               79099-07-3/BI OR 866602-59-7/BI OR 866602-60-0/BI OR 866602-61-
               1/BI OR 866602-62-2/BI OR 866602-63-3/BI OR 866602-64-4/BI OR
               866602-65-5/BI OR 866602-66-6/BI OR 866602-67-7/BI OR 866602-68
               -8/BI OR 866602-69-9/BI OR 866602-70-2/BI OR 866602-71-3/BI OR
               866602-72-4/BI OR 866602-73-5/BI OR 866602-74-6/BI OR 866602-75
               -7/BI OR 866602-76-8/BI OR 866602-77-9/BI OR 866602-78-0/BI OR
               866602-79-1/BI OR 866602-80-4/BI OR 866602-81-5/BI OR 866602-82
               -6/BI OR 866602-83-7/BI OR 866602-84-8/BI OR 866602-85-9/BI OR
               866602-86-0/BI OR 866602-88-2/BI OR 866602-89-3/BI OR 866602-90
               -6/BI OR 9004-06-2/BI)
             23 SEA SPE=ON ABB=ON PLU=ON L7 AND N2CNC/ESS
L8
L9
               STR L2
             1 SEA SSS SAM L9
L10
L11
            35 SEA SSS FUL L9
    FILE 'CAPLUS' ENTERED AT 11:00:54 ON 12 JAN 2009
L12
            10 SEA SPE=ON ABB=ON PLU=ON L11
    FILE 'REGISTRY' ENTERED AT 11:01:11 ON 12 JAN 2009
              STR L9
L13
L14
             5 SEA SUB=L11 SSS FUL L13
L15
               STR L13
L16
             0 SEA SSS SAM L15
             0 SEA SUB=L11 SSS FUL L15
L17
L18
            15 SEA SPE=ON ABB=ON PLU=ON L11 AND L7
    FILE 'CAPLUS, DISSABS, CONFSCI, WPIX' ENTERED AT 11:04:29 ON 12 JAN 2009
L19
           496 SEA SPE=ON ABB=ON PLU=ON ERIKSSON A/AU OR ERIKSSON ANDER?/AU
            38 SEA SPE=ON ABB=ON PLU=ON LEPISTO M/AU OR LEPISTO M ?/AU OR
L20
              LEPISTO MATT?/AU
L21
           613 SEA SPE=ON ABB=ON PLU=ON L19 OR ERIKSSON A ?/AU
L22
           643 SEA SPE=ON ABB=ON PLU=ON (L20 OR L21)
L23
             7 SEA SPE=ON ABB=ON PLU=ON L22 AND TRIAZOL?
    FILE 'CAPLUS' ENTERED AT 11:05:54 ON 12 JAN 2009
               D OUE L12
               D L12 IBIB ABS HITSTR TOT
     FILE 'CAPLUS, DISSABS, CONFSCI, WPIX' ENTERED AT 11:06:19 ON 12 JAN 2009
               D QUE L23
L24
             5 DUP REM L23 (2 DUPLICATES REMOVED)
                    ANSWERS '1-4' FROM FILE CAPLUS
                    ANSWER '5' FROM FILE WPIX
```

D L24 IBIB ABS TOT